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For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: INHIBITORS OF AKT ACTIVITY

(57) Abstract: The present invention is directed to compounds which contain a substituted pyridine moiety which inhibit the activity of Akt, a serine/threonine protein kinase. The invention is further directed to chemotherapeutic compositions containing the compounds of this invention and methods for treating cancer comprising administration of the compounds of the invention.



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# INTERNATIONAL SEARCH REPORT

International application No.

PCT/US04/12188

## A. CLASSIFICATION OF SUBJECT MATTER

IPC(7) : A61K 31/445; C07D 401/14

US CL : 514/322; 546/199

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

U.S. : 514/322; 546/199

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)  
Please See Continuation Sheet

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X,E	Database CAPLUS on STN (Columbus, OH, USA) No. 142:211437, 'Discovery of 2,3,4-trisubstituted pyridine derivatives as potent Akt1 and Akt2 dual inhibitors' abstract, Zhao et al. Biorganic & Medicinal Chemistry Letters (2005), 15(4), 905-909.	1-8, 15-18
A,P	Database CAPLUS on STN (Columbus, OH, USA) No. 139:350754 'Preparation of 2,3-diphenylquinoxaline derivatives as inhibitors of Akt activity for treating cancer' abstract, Bilodeau et al. WO 2003086394, (2003).	1-8, 15-18
A,P	Database CAPLUS on STN (Columbus, OH, USA) No. 139:323527, 'preparation of triazolo[4,3-b]pyridazines and 2,3-diarylquinazolines for the treatment of cancer' Barnett et al. WO 2003084473 (2003).	1-8, 15-18

☐ Further documents are listed in the continuation of Box C.

☐ See patent family annex.

Special categories of cited documents:	
"A" document defining the general state of the art which is not considered to be of particular relevance	"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
"B" earlier application or patent published on or after the international filing date	"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)	"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art
"O" document referring to an oral disclosure, use, exhibition or other means	"&" document member of the same patent family
"P" document published prior to the international filing date but later than the priority date claimed	

Date of the actual completion of the international search

06 July 2005 (06.07.2005)

Name and mailing address of the ISA/US

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# INTERNATIONAL SEARCH REPORT

International application No.

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## Box No. II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:  
because they relate to subject matter not required to be searched by this Authority, namely:
2. ☐ Claims Nos.:  
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:
3. ☐ Claims Nos.:  
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

## Box No. III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:  
Please See Continuation Sheet

1. ☐ As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☒ No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.: 1-7, 15-18 in part reading on claim 8

Remark on Protest

☐  
☐

The additional search fees were accompanied by the applicant's protest.

~~No protest accompanied the payment of additional search fees~~

## INTERNATIONAL SEARCH REPORT

International application No.  
PCT/US04/12188

### BOX III. OBSERVATIONS WHERE UNITY OF INVENTION IS LACKING

Group I, claims 1-7, 15-18 when Q is benzimidazolone, N-ring is piperidine and claim 8, drawn to benzimidazolonylpiperidines.

Group II, claims 1-7, 15-18 when Q is 2-benzimidazole, N-ring is piperidine and claims 9, 12, drawn to 2-benzimidazolylpiperidines.

Group III, claims 1-7, 15-18 when Q is pyridinylpyrazole, drawn to pyridinylpyrazoles.

Group IV, claims 1-7, 15-18, Q is pyrimidinylpyrazole, and claims 11, 13-14 drawn to pyrimidinylpyrazoles.

Group V, claims 1-7, 15-18 when Q is alkyl, halogen etc, excluding groups I-IV, drawn to unsubstituted/substituted N-heterocyclic compounds not encompassed by groups I-IV.

Group VI, claim 19, drawn to multiple active ingredient composition.

Group VII, claim 20, drawn to method of treating cancer using combination of drug and radiation.

The inventions listed as Groups I-VII do not relate to a single general inventive concept under PCT Rule 13.1 because, under PCT Rule 13.2, they lack the same or corresponding special technical features for the following reasons: PCT Annex B part (f)v indicates that when dealing with alternatives if it can be shown that at least one Markush alternative is not novel over the art the question of unity of invention shall be reconsidered by the examiner. In the instant case, at least one Markush alternative is not novel over Bilodeau et al. Ca 139:350754.

Continuation of B. FIELDS SEARCHED Item 3:

CAS-structure

EAST/WEST-image